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FORM PTO-1449

## INFORMATION DISCLOSURE CITATION

Attorney Docket  
22903XA-TSerial Number  
09/784,174

Applicant

TECH CENTER 1600/2900  
STEINER et al.Filing Date  
Feb. 16, 2001Group Art Unit  
1614

## U.S. PATENT DOCUMENTS

Examiner Initial		Document Number	Date	Name	Class	Sub-Class	Filing Date
h	AA	5,532,248	7/2/96	Goulet et al.			5/12/95
	AB	5,506,228	4/9/96	Norton et al.			2/23/95
	AC	5,470,878	11/28/95	Michnick et al.			12/8/93
	AD	5,457,111	10/10/95	Luly et al.			11/9/93
	AE	5,385,918	1/31/95	Connell et al.			2/9/93
	AF	5,342,625	8/30/94	Hauer et al.			12/15/92
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	AH	5,284,877	2/8/94	Organ et al.			6/12/92
	AI	5,284,840	2/8/94	Rupprecht et al.			6/12/92
	AJ	5,284,826	2/8/84	Eberle			8/27/92
h	AK	5,258,389	11/2/93	Goulet et al.			11/9/92

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h	AL	WO 9641609	12/27/96	WO PCT			Yes
	AM	EP 564924	10/13/93	EP EP			Yes
	AN	EP 423714	4/24/91	EP EP			Yes
h	AO	WO 9736869	10/9/97	WO PCT			Yes

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h	AP	Birkenshaw, T.N. et al., "Synthetic FKBP12 Ligands. Design and Synthesis of Pyranose Replacements," <u>Bioorganic &amp; Medicinal Chemistry Letters</u> , (1994) 4:21, 2501-2506.
	AQ	Caffrey, M.V. et al., "Synthesis and Evaluation of Dual Domain Macrocyclic FKBP12 Ligands," <u>Bioorganic &amp; Medicinal Chemistry Letters</u> , (1994) 4:21, 2507-2510.
	AR	Hauske, J.R. et al. "Design and Synthesis of Novel FKBP Inhibitors," <u>J. of Medicinal Chemistry</u> , (1992) 35, 4284-4296.

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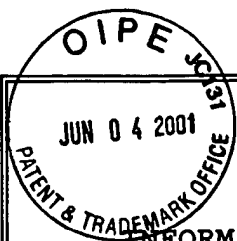
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<i>N</i>	BA	5,208,241	5/4/93	Ok et al.			9/9/91
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	BC	5,189,042	2/23/93	Goulet et al.			8/22/91
	BD	4,996,193	2/26/91	Hewitt et al.			3/3/89
	BE	5,614,547	3/25/97	Hamilton et al.			6/7/95

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		Document Number	Date	Country	Class	Sub-Class	Translation
							Yes No
							Yes No
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## OTHER (Including Author, Title, Date, Pertinent Pages, etc.)

<i>N</i>	BF		Holt, D.A. et al., "Design, Synthesis, and Kinetic Evaluation of High-Affinity FKBP Ligands and the X-ray Crystal Structures of Their Complexes with FKBP12," <u>J. Am. Chem. Soc.</u> , (1993) 115, 9925-9938.
	BG		Holt, D.A. et al., "Structure-Activity Studies of Synthetic FKBP Ligands as Peptidyl-prolyl Isomers Inhibitors," <u>Bioorganic &amp; Medicinal Chemistry Letters</u> , (1994) 4:2, 315-320.
<i>N</i>	BH		Holt, D.A. et al., "Structure-Activity Studies of Nonmacrocylic Rapamycin Derivatives," <u>Bioorganic &amp; Medicinal Chemistry Letter</u> , (1993) 3:10, 1977-1980.

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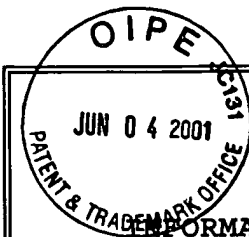
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CA	Luengo, J.I. et al., "Synthesis and Structure-Activity Relationships of Macrocyclic FKBP Ligands," <u>Bioorganic &amp; Medicinal Chemistry Letters</u> , (1994) 4:2, 321-324.
CB	Snyder, S.H. et al., "Immunophilins and the Nervous System," <u>Nature Medicine</u> , (1995) 1:1, 32-37.
CC	Teague, S.J. et al., "Synthesis and Study of a Non-Macrocyclic FK506 Derivative," <u>Bioorganic &amp; Medicinal Chemistry Letters</u> , (1994) 4:13, 1581-1584.
CD	Steiner, et al., <u>Chemical Abstract</u> , Volume 126:272710, 1997.

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↑	DA	Teague, S.J. et al., "The Affinity of the Excised Binding Domain of FK-506 for the Immunophilin FKBP12," <u>Bioorganic &amp; Medicinal Chemistry Letters</u> , (1993) 3:10, 1947-1950.
	DB	Wang, G.T. et al., "Synthesis and FKBP Binding of Small Molecule Mimics of the Tricarbonyl Region of FK506," <u>Bioorganic and Medicinal Chemistry Letters</u> , (1994) 4:9, 1161-1166.
u	DC	Yamashita, D.S. et al., "Design, Synthesis and Evaluation of Dual Domain FKBP Ligands," <u>Bioorganic &amp; Medicinal Chemistry</u> , (1994) 4:2, 325-328.

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7	EA		Iwabuchi, T. et al., "Effects of immunosuppressive peptidyl-prolyl cis-trans isomerase (PPIase inhibitors, cyclosporin A, FK506, ascomycin and rapamycin, on hair growth initiation in mouse: immunosuppression is not required for hair growth," <u>J. of Dermatol. Sci.</u> , (1995) 9:1, 64-69.
1	EB		Yamamoto, S. et al., "Stimulation of hair growth by topical application of FK506, a potent immunosuppressive agent," <u>J. Invest. Dermatol.</u> , (1994) 102:2, 160-164.
2	EC		Jiang, H. et al., "Induction of anagen in telogen mouse skin by topical application of FK506, a potent immunosuppressant," <u>J. Invest. Dermatol.</u> , (1995) 104:4, 523-525.

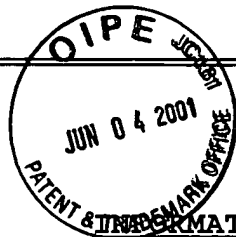
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h	FA	5,447,915	9/5/95	Schreiber et al.			8/28/92
	FB	5,294,603	3/15/94	Rinehart, K.L.			2/18/92
	FC	5,359,138	10/25/94	Takeuchi et al.			6/29/92
	FD	5,516,797	5/14/96	Armistead et al.			4/11/94

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h	FE	WO9203472	3/5/92	WO PCT			Yes
	FF	JP04149166	5/22/92	JP Japan			No
	FG	EP-468339	1/29/92	EP EPO			Yes
	FH	WO9113088	9/5/91	WO PCT			Yes
	FI	EP-405994	1/2/91	EP EPO			Yes
	FJ	EP-378318	7/18/90	EP EPO			Yes

## OTHER (Including Author, Title, Date, Pertinent Pages, etc.)

h	FK	Askin, D. et al., "Effecient Degradation of FK-506 to a versatile synthetic intermediate," J. Org. Chem., 1990, 55(20), 5451-4.
	FL	Goulet, Mark T., and Boger, Joshua, "Degradative studies on the tricarbonyl containing macrolide rapamycin," Tetrahedron Lett., 1990, 31(34), 4845-8.
	FM	Jones, T. et al., "Chemistry of tricarbonyl hemiketals and application of Evans technology to the total synthesis of the immunosuppressant (-)-FK-506," J. Am. Chem. Soc., 1990, 112(8), 2998-3017.
	FN	Jones, A. et al., "A formal synthesis of FK-506. Exploration of some alternatives to macrolactamization," J. Org. Chem., 1990, 55(9), 2786-97.
	FO	Rao, A.V., et al., "Studies directed towards the synthesis of immunosuppressive agent FK-506: construction of the tricarbonyl moiety," Tetrahedron Lett., 1990, 31(10), 1439-42.
n	FP	Harding, M.W., et al., "A receptor for the immunosuppressant FK506 is a cis-trans peptidyl-prolyl isomerase," Nature Lett., 1989, 341, 758-60.

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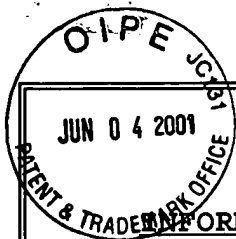
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N	GA	4,818,749	4/4/89	Gold, E.H. et al.			4/4/89
	GB	4,808,573	2/28/89	Gold, E.H. et al.			2/28/89
	GC	5,252,579	10/12/93	Skotnicki, J. et al.			2/16/93
h	GD	5,543,423	8/6/96	Zelle et al.			1/23/95

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		Document Number	Date	Country	Class	Sub-Class	Translation
u	GE	WO9104985	4/18/91	WO ECT			Yes
	GF	DE4015255	11/14/91	DE Germany			No
	GG	EP-419049	3/27/91	EP EPO			Yes
	GH	WO9012805	11/1/90	WO PCA			No
	GI	EP-352000	1/24/90	EP EPO			Yes
	GJ	DE3931051	3/29/90	DE Germany			No
✓	GK	EP-333174	9/20/89	EP EPO			Yes

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h	GL	Finberg, Robert W. et al., "Prevention of HIV-1 Infection and Preservation of CD4 Function by the Binding of CPFs to gp120," Science, 1990, 249, 287-91.
h	GM	Goodfellow, Val S. et al., "p-Nitrophenyl 3-diazopyruvate and diazopyruvamides, a New Family of Photoactivatable Cross-Linking Bioprobes," Biochemistry, 28(15), 6346-60.
	GN	Wasserman, H.H. et al., "Synthesis of the tricarbonyl region of FK-506 through and amidophosphorane [Erratum to document cited in CA111(7):57366p]," J. Org. Chem., 1989, 54(22), 5406.
10	GO	Wasserman, H.H. et al., "Synthesis of the tricarbonyl region of FK-506 through an amidosphere," J. Org. Chem., 1989, 54(12), 2785-6.
	GP	Askin, D. et al., "Chemistry of FK-506: benzilic acid rearrangement of the tricarbonyl system," Tetrahedron Lett., 1989, 30(6), 671-4.
h	GQ	Coleman, R., and Danishefsky, S., "Degradation and manipulations of the immunosuppressant FK506: preparation of potential synthetic intermediates," Heterocycles, 1989, 28(1), 157-61.

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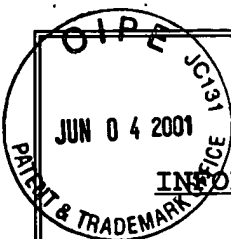
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7	HA	4,574,079	3/4/86	Gavras, H.P. et al.			3/4/86
7	HB	5,330,993	7/19/94	Armistead, et al.			7/2/91

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7	HC	WO8809789	12/15/88	WO PCT			Yes
	HD	EP-260118	3/16/88	EP EPO			Yes
h	HE	WO9617816	6/13/96	WO PCT			Yes

## OTHER (Including Author, Title, Date, Pertinent Pages, etc.)

7	HF		Boulmedais, Ali et al., "Stereochemistry of Electrochemical Reduction of Optically Active $\alpha$ -ketoamides. II. Electroreduction of benzoylformamides derived from S-(-)-proline," Bull. Soc. Chim. Fr., 1989, (2), 185-91. (French)
h	HG		Soai, Kenso et al., "Asymmetric Allylation of $\alpha$ -keto amides Derived from (S)-proline esters," Pept. Chem., 1986, 24, 327-330.
	HH		
7	HJ		Egbertson, M. and Danishefsky, S., "A synthetic route to the tricarbonyl region of FK-506," J. Org. Chem., 1989, 54(1), 11-12.
	HK		Williams, D.R. and Benbow, J.W., "Synthesis of the $\alpha,\beta$ diketo amide segment of the novel immunosuppressive FK506," J. Org. Chem., 1988, 53(191), 4643-4.
	HL		Kocienski, P. et al., "A synthesis of the C(1)-C(15) segment of tsukubaenolide (FK506)," Tetrahedron Lett., 1988, 29(35), 4481-4.
h	HM		Tanaka, H. et al., "Structure of FK506, a novel immunosuppressant isolated from Streptomyces," J. Am. Chem. Soc., 1987, 109(16), 5031-3.

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<i>h</i>	IB	5,147,877	9/15/92	Goulet, Mark			9/12/91

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<i>h</i>	IC	EP-196841	10/8/86	EP			Yes
	ID	DE3508251	9/11/86	Germany			No
	IE	EP--88350	9/14/83	EP			Yes
<i>h</i>	IF	EP--73143	3/2/83	EP			Yes

## OTHER (Including Author, Title, Date, Pertinent Pages, etc.)

<i>h</i>	IG	Soai, Kenso and Ishizaki, Miyuki, "Asymmetric Synthesis of Functionalized tertiary alcohols by diastereoselective allylation of chiral $\alpha$ -keto amides derived from (S)-proline esters: control of stereochemistry based on saturated coordination of Lewis acid," J. Org. Chem., 1986, 57(17) 3290-5. (English)
	IH	Soai, Kenso et al., "Asymmetric synthesis of both enantiomers of $\alpha$ -hydroxy acids by the diastereoselective reduction of chiral $\alpha$ -keto amides with complex metal hydrides in the presence of a metal salt," Chem. Lett., 1986, 11, 1897-900.
	II	Soai, Kenso and Hasegawa, Hitoshi, "Diastereoselective reduction of chiral $\alpha$ -ketoamides derived from (S)-proline esters with sodium borohydride. Preparation of optically active $\alpha$ -hydroxy acids," J. Chem. Soc., 1985, 1(4), 769-72.
<i>W</i>	IJ	Soai, Kenso and Ishizaki, Miyuki, "Diastereoselective asymmetric allylation of chiral $\alpha$ -keto amides with allyltrimethylsilane. Preparation of protected homoallylic alcohols," J. Chem. Soc., 1984, 15, 1016-1017.
	IK	
<i>h</i>	IL	Bender, D., et al., "Periodate oxidation of $\alpha$ -keto $\gamma$ -lactams. Enol oxidation and $\beta$ -lactam formation. Mechanism of periodate hydroxylation reactions," J. Org. Chem., 1978, 43(17), 3354-62.

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4	JA	5,631,017	5/20/97	Sharpe, et al.		X	3/26/93
h	JB	5,703,088	12/30/97	Sharpe, et al.		X	6/4/92

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4	JC	EP--50800	5/5/82	EPO		X	Yes
	JD	EP--48159	3/24/82	EPO		X	Yes
h	JE	EP--12401	6/25/80	EPO		X	Yes

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4	JF	Colombo, L. et al., "Enantioselective synthesis of secondary alcohols in the presence of chiral ligands," Tetrahedron, 1982, 38(17), 2725-7.
	JG	Soai, Kenso et al., "Unusual effect of a mixed solvent on the asymmetric reduction of chiral $\alpha$ -keto amides with sodium borohydride," J. Chem. Soc., 1982, 21, 1282-3.
n	JH	Steglich, Wolfgang et al., "Activated carboxylic acid derivatives. II. A simple synthesis of 2-oxycarboxylic acid amides, N-(2-oxoacyl)amino acid esters and 2-oxocarboxylic acid hydrazides," Synthesis, 1978, 8, 622-4. (German)
	JI	Cushman, D.W. et al., "Design of potent competitive inhibitors of angiotensin-converting enzyme. Carboxyalkanoyl and mercaptoalkanoyl amino acids," Biochemistry, 1977, 16(25), 5484-91.
h	JJ	Steglich, Wolfgang and Hinze, Sabine, "A rational synthesis of N-trifluoroacetyl amino acids," Synthesis, 1976, 8, 399-401. (German)
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4	JL	Marshall, J.A. et al., "Convenient synthesis of dioxopiperazines via aminolysis of $\alpha$ -(pyruvylamino) esters, Synth. Commun., 1975, 5(3), 237-44.
	JM	Haeusler, Johannes and Schmidt, Ulrich, "Amino acids and peptides. IX. Pyruvoyl amino acids," Chem. Ber., 1974, 107(1), 145-51. (German)
h	JN	Hearn, Walter R., and Worthington, Robert E., "L-Proline-N-oxalic anhydride," J. Org. Chem., 1967, 32(12), 4072-4.

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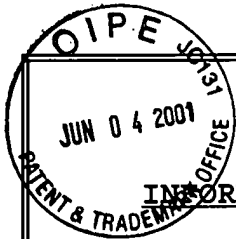
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V	KB	5,319,098	6/7/94	Burbaum, B.W et al.			5/18/93

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2	KC	WO9200278	1/9/92	WO PCT			Yes
	KD	WO9606097	2/29/96	WO PCT			Yes
	KE	WO9512572	5/11/95	WO PCT			Yes
	KF	WO9407858	4/14/94	WO PCT			Yes
	KG	WO9325546	12/23/93	WO PCT			Yes
	KH	WO9313066	7/8/93	WO PCT			Yes
	KI	JP05178824	7/20/93	JP Japan			No
	KJ	EP-572365	12/1/93	EP EPO			Yes
	KK	WO9219593	11/12/92	WO PCT			Yes
	KL	GB2247456	3/4/92	GB United Kingdom			Yes
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W	KN	Chakarabarty, Tushar K., "Studies towards the development of cyclic peptide-based analogs of macrolide immunosuppressants," Pure Appl. Chem., 1996, 68(3), 565-568.
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W	KQ	Tugwell, Peter, "Clycosporin in the Treatment of Rheumatoid Arthritis," J. of Autoimmunity, 1992, 5, 231-40.
	KR	Fry, Lionel, "Psoriasis: Immunopathology and Long-term treatment with Cyclosporin," J. of Autoimmunity, 1992, 5, 277-83.
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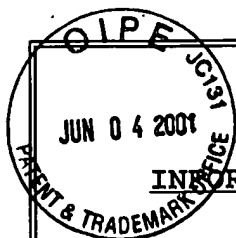
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FORM PTO-1449

## INFORMATION DISCLOSURE CITATION

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22903XA-TSerial Number  
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<i>u</i>	LA	5,614,547	3/25/97	Hamilton et al.			6/7/95
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		Document Number	Date	Country	Class	Sub-Class	Translation
<i>y</i>	LC	<del>EP</del> -652229	5/10/95	<del>EP</del>			Yes
<i>u</i>	LD	<del>ZA</del> 9207782	4/28/93	<del>ZA</del> South Africa			Yes

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<i>u</i>	LE		Slee, Deborah H. et al., "Selectivity in the Inhibition of HIV and FIV Protease: Inhibitory and Mechanistic Studies of Pyrrolidine-Containing $\alpha$ -Keto Amide and Hydroxyethylamine Core Structures, J. Am. Chem. Soc., 1995, 117(48), 1187-78.
	LF		Nicolaou, K.C. et al., "Total synthesis of rapamycin," <u>Che.--Eur. J.</u> , 1995, 1(5), 318-33.
<i>u</i>	LG		Munoz, Benito et al., " $\alpha$ -Ketoamide Phe-Pro isostere as a new core structure for the inhibition of HIV protease," Bioorg. Med. Chem., 1994, 2(10), 1085-90.
	LH		Hauske, James R. et al., "Investigation of the effects of synthetic, non-cytotoxic immunophilin inhibitors on MDR," Bioorg. Med. Chem. Lett., 1994, 4(17), 2097-102.
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	LK		Baader, Ekkehard et al., "Inhibition of prolyl 4-hydroxylase by oxalyl amino acid derivatives in vitro, in isolated microsomes and in embryonic chicken tissues," Biochem. J., 1994, 300(2), 525-30.
	LL		Gold, Bruce R., et al., "The Immunosuppressant FK506 Increases the Rate of Axonal Regeneration in Rat Sciatic Nerve," J. Neuroscience, 1995, 15(11):7509-7516.
	LM		Karle, Isabella L. et al., "Conformation of the oxalamide group in retro-bispeptides. Three crystal structures," Int. J. Pept. Protein Res., 1994, 43(2), 160-5.
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MC WO9405639	3/17/94	WO PCT			Yes
MD WO9615101	5/23/96	WO PCT			Yes

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ME	Steiner, Joseph P. et al., "High brain densities of the immunophilin FKBP colocalized with calcineurin," Nature Lett., 1992, 358, 584-7.
MF	Pattenden, Gerald and Tankard, Mark, "Facile Synthesis of the tricarbonyl subunit in the immunosuppressant rapamycin," Tetrahedron Lett., 1993, 34(16), 2677-80.
MG	Furber, M. et al., "Studies relating to the immunosuppressive activity of FK506," Tetrahedron Lett., 1993, 34(8), 1351-4.
MH	Ranganathan, Darshan et al., "Oxalo peptides as core motifs for protein design," J. Chem. Soc., 1993, (1), 92-4.
MI	Dawson, Ted M. et al., "Immunosuppressant FK506 enhances phosphorylation of nitric oxide synthase and protects against glutamate neurotoxicity," Proc. Natl. Acad. Sci. USA, 1993, 90, 9808-12.
MJ	Cunliffe, C. Jane et al., "Novel inhibitors of prolyl 4-hydroxylase. 3. Inhibition by the substrate analog N-oxaloglycine and its derivatives," J. Med. Chem., 1992, 35 (14), 2652-8.
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MM	<del>Blaschke et al., Chemical Abstracts, 1974, 85:78405k.</del>

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m	NE	WO9535367	12/28/95	WO PCT			Yes
h	NF	WO9413629	6/23/94	WO PCT			Yes

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n	NG		Caufield, Craig E. and Musser, John H., "Macrocyclic Immunomodulators," <u>Annual Reports in Medicinal Chemistry</u> , Johns (Ed.), Academic Press, Chapter 21, 195-204, 1989.
I	NH		Effenberger F. et al., "Diastereoselective addition of benzenesulfonyl chloride to 1-acryloylproline esters," <u>Chemical Abstracts</u> , 1989, 110:154846h.
h	NI		Nakatsuka, M et al., "Total Synthesis of FK506 and an FKBP Reagent, (C <sub>8</sub> , C <sub>9</sub> - <sup>13</sup> C <sub>2</sub> )-FK-506," <u>J. Am. Chem. Soc.</u> , 1990, 112 (14), 5583-90..
	NJ		Shu, A. et al., "Synthesis of I-125 labeled photoaffinity rapamycin analogs," <u>J. Labelled Compd. Radiopharm.</u> , 1996, 38(3), 277-37.
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	NL		Teague, S. et al., "Synthesis of FK506-cyclosporin hybrid macrocycles," <u>Bioorg. Med. Chem. Lett.</u> , 1995, 5(20), 2341-6.
h	NM		Stocks, M. et al., "Macrocyclic ring closures employing the intramolecular Heck reaction," <u>Tetrahedron Lett.</u> , 1995, 36(36), 6555-8.

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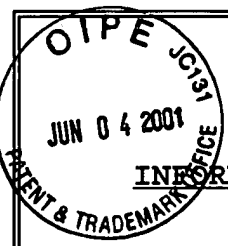
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n	OE	WO9204370	3/19/92	WO PCT			Yes
n	OF	WO9535308	12/28/95	WO PCT			Yes

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n	OG		Wang, C.P. et al., "High performance liquid chromatographic isolation and spectroscopic characterization of three major metabolites from the plasma of rats receiving rapamycin (sirolimus) orally," J. Liq. Chromatogr., 1995, 18(13), 2559-68.
	OH		Armistead, D.M. et al., "Design, synthesis and structure of non-macrocyclic inhibitors of FKBP12, the major binding protein for the immunosuppressant FK506," Acta Crystallogr. 1995, D51(4), 522-8.
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N	PC	W09221313	12/10/92	WO PCT		2	Yes
L	PD	W09524385	9/14/95	WO PCT		2	Yes

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	PE	Baumann, K. et al., "Synthesis and oxidative cleavage of the major equilibrium products of ascomycin and Fk 506," Tetrahedron Lett., 1995, 26(13), 2231-4.
	PF	Nelson, F. et al., "A novel ring contraction of rapamycin," Tetrahedron Lett., 1994, 35(41), 7557-60.
	PG	Dawson, T.M. et al., "The immunophilins, FK506 binding and cyclophilin, are discretely localized in the brain: relationship to calcineurin," Neuroscience, 1994, 62(2), 569-80.
	PH	Cameron, Andrew et al., "Immunophilin FK506 binding protein associated with inositol 1,4,5-triphosphate receptor modulates calcium flux," Proc. Natl. Acad. Sci. USA, 1995, 92, 1784-1788.
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	PK	Lyons, W. Ernest et al., "Neronal Regeneration Enhances the Expression of the Immunophilin FKBP-12," The Journal of Neuroscience, 1995, 15, 2985-94.
	PL	Skotnicki, Jerauld et al., "Ring expanded rapamycin derivatives," Tetrahedron Lett., 1994, 35(2), 201-2.
N	PM	Skotnicki, Jerauld et al., "Synthesis of secorapamycin esters and amides," Tetrah. Lett., 1994, 35(2), 197-200.

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				Applicant STEINER et al.			
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<b>U.S. PATENT DOCUMENTS</b>							
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	QB						
<b>FOREIGN PATENT DOCUMENTS</b>							
		Document Number	Date	Country	Class	Sub-Class	Translation
1	QC	WO9307269	4/15/93	WO PCT			Yes
u	QD	WO9216501	10/1/92	WO PCT			Yes
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n	QE		Rao, A.V. Rama and Desibhatla, Vidyanand, "Studies directed towards the syntesis of rapamycin: stereoselective synthesis of C-1 to C-15 segment," Tetrahedron Lett., 1993, 34(44), 7111-14.				
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	QH		Steffan, Robert J. et al., "Base catalyzed degradations of rapamycin," Tetrahedron Lett., 1993, 34(23), 3699-702.				
	QI		<del>Nicolaou, K.C. et al., "Total Synthesis of rapamycin," J. Am. Chem. Soc., 1993, 115(10), 4419-20.</del>				
y	QJ		Hayward, C.M. et al., "Total Synthesis of rapamycin via a novel titanium-mediated aldol macrocyclization reaction," J. Am. Chem. Soc., 1993, 115(20), 9345-6.				
1	QK		Yohannes, Daniel et al., "Degradation of rapamycin: synthesis of a rapamycin-derived fragment containing the tricarbonyl and triene sectors," Tetrahedron Lett., 1993, 34(13), 2075-8.				
	QL		Luengo, J. et al., "Studies on the chemistry of rapamycin: novel transformation under Lewis-acid catalysis," Tetrahedron Lett., 1993, 34(6), 991-4.				
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RC	WO9526337	10/5/95	WO	PET	Yes

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RD	Yohannes, Daniel et al., "Degradation of rapamycin: retrieval of major intact subunits," Tetrahedron Lett., 1992, 33(49), 7469-72.
RE	Goulet, Mark T. and Boger, Joshua, "Degradative studies on the tricarbonyl containing macrolide rapamycin," Tetrahedron Lett., 1991, 32(45), 6454.
RF	Goulet, Mark T. et al., "Construction of the FK-506 analog from rapamycin-derived materials," Tetrahedron Lett., 1991, 32(36), 4627-30.
RG	Rao, A.V. Rama et al., "Studies directed towards the synthesis of immunosuppressive agent FK-506: synthesis of the entire bottom half," Tetrahedron Lett., 1991, 32(9), 1251-4.
RH	Fisher, Matthew et al., "On the remarkable propensity for carbon-carbon bond cleavage reactions in the C(8)-C(10) region of FK-506," J. Org. Chem., 1991, 56(8), 2900-7.
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RK	Hovarth, R., et al., "An application of the Evans-Prasad 1,3-Syn diol synthesis to a stereospecific synthesis of the C <sub>10</sub> -C <sub>27</sub> segment of rapamycin," Tetrahedron Lett., 1993, 34(25), 3993-3996.
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RM	Ando, Takao et al., "Formation of Crossed Phenazine from the Reaction between Tetra-p-anisyl- and Tetra-p-tolyl-hydrazines in Liquid Sulphur Dioxide," Chem. Comm., S. Chem. Comm., 1975, 989.


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	SB	WO9323548	11/25/93	WO PCT			Yes
	SC	WO9636630	11/21/96	WO PCT			Yes
	SD	WO9633187	10/24/96	WO PCT			Yes
	SE	WO9633184	10/24/96	WO PCT			Yes
	SF	WO9603318	10/24/96	WO PCT			Yes
	SG	WO9820891	5/22/98	WO PCT			Yes
	SH	WO9820892	5/22/98	WO PCT			Yes
	SI	WO9820893	5/22/98	WO PCT			Yes
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h	SK	Kino, Toru et al., "FK-506, A novel immunosuppressant isolated from A streptomyces," J. of Antibiotics, 1987, 40(9), 1249-55.
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h	SM	Steiner, Joseph P., et al., "Neurotrophic Immunophilin Ligands Stimulate Structural and Functional Recovery in Neurodegenerative Animal Models," 1997, Proc. Natl. Acad. Sci. USA, 94:2019-2024.
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	UG	EP 0823419	8-7-97	EP EPO			YES
	UH	EP 0519819	6-17-92	EP EPO			NO
	UI	EP 0494005	12-20-91	EP EPO			NO
	UJ	EP 0471135	8-14-90	EP EPO			YES
	UK	EP 0443983	12-2-91	EP EPO			NO
	UL	EP 0420707	8-24-90	EP EPO			NO
	UM	WO 9822432	5-28-98	WO WO			NO
	UN	WO 9813343	4-2-98	WO PCT			YES
	UO	WO 9731898	9-4-97	WO PCT			YES
	UP	WO 9611943	10-6-95	WO PCT			YES
	UQ	WO 9534303	12-21-95	WO PCT			YES
	UR	WO 9512398	5-11-95	WO PCT			YES
	US	WO 9502684	1-26-95	WO PCT			YES
	UT	WO 9403476	2-17-94	WO PCT			YES
	UU	WO 9318736	9-30-93	WO PCT			NO
	UV	WO 9314762	8-5-93	WO PCT			YES
	UW	WO 9314072	7-22-93	WO PCT			YES
	UX	WO 8906234	7-13-89	WO PCT			YES
<i>W</i>	UY	WO 8800040	1-14-88	WO PCT			YES

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